

Trametinib

Trametinib (trade name **Mekinist**) is a cancer drug. It is a **MEK inhibitor** drug with anti-cancer activity.^[1]

It inhibits **MEK1** and **MEK2**.^[1]

Trametinib had good results for metastatic melanoma carrying the **BRAF V600E** mutation in a phase III clinical trial. In this mutation, the amino acid valine (V) at position 600 within the **BRAF** gene has become replaced by glutamic acid (E) making the mutant **BRAF** gene constitutively active.^[2]

In May 2013, trametinib was approved as a single-agent by the Food and Drug Administration for the treatment of patients with V600E mutated metastatic melanoma.^[3] Clinical trial data demonstrated that resistance to single-agent trametinib often occurs within 6 to 7 months.^[4] To overcome this, trametinib was combined with the **BRAF** inhibitor dabrafenib.^[4] As a result of this research, on January 8, 2014, the FDA approved the combination of dabrafenib and trametinib for the treatment of patients with **BRAF V600E/K**-mutant metastatic melanoma.^[5]

1 References

- [1] Trametinib, NCI Drug Dictionary
- [2] METRIC phase III study: Efficacy of trametinib (T), a potent and selective MEK inhibitor (MEKi), in progression-free survival (PFS) and overall survival (OS), compared with chemotherapy (C) in patients (pts) with BRAFV600E/K mutant advanced or metastatic melanoma (MM).
- [3] "GSK melanoma drugs add to tally of U.S. drug approvals". Reuters. May 30, 2013.
- [4] "Combined BRAF and MEK Inhibition in Melanoma with BRAF V600 Mutations". **367** (18). *New England Journal of Medicine*. November 1, 2012: 1694–703. doi:10.1056/NEJMoa1210093. PMC 3549295. PMID 23020132.
- [5] "Dabrafenib/Trametinib Combination Approved for Advanced Melanoma". OncLive. January 9, 2014.

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2.1 Text

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